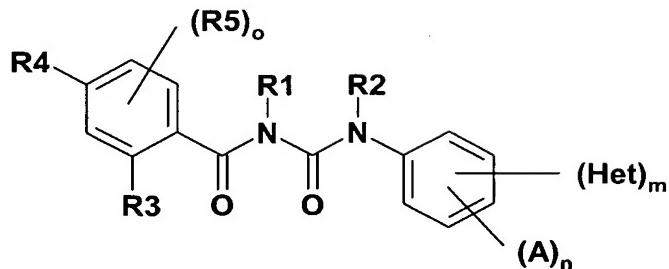


DEAV 2002/0050K

We claim:

1. A compound of the formula I,



5

wherein

- 10 R1 and R2 are each independently H, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl is optionally substituted by OH, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, NH<sub>2</sub>, NH(C<sub>1</sub>-C<sub>4</sub>)-alkyl or N[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>;
- 15 R3 and R4 are each independently F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- 20 R5 is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- 25

- A is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or NHCOR<sub>6</sub>, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl and SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;
- R6 is H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CONH<sub>2</sub>, (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-(C<sub>6</sub>-C<sub>10</sub>)-aryl, heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-heteroaryl or CO-heteroaryl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH and (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CONH<sub>2</sub> are optionally mono- or polysubstituted by F, Cl, Br, O(C<sub>1</sub>-C<sub>4</sub>-alkyl), COO-(C<sub>1</sub>-C<sub>4</sub>-alkyl) or N-[(C<sub>1</sub>-C<sub>4</sub>)-alkyl]<sub>2</sub> and said (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-(C<sub>6</sub>-C<sub>10</sub>)-aryl, heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-heteroaryl and CO-heteroaryl are optionally mono- or polysubstituted by F, Cl, Br, NO<sub>2</sub>, CN, O-(C<sub>1</sub>-C<sub>4</sub>-alkyl), S-COO(C<sub>1</sub>-C<sub>4</sub>-alkyl), COO-(C<sub>1</sub>-C<sub>4</sub>-alkyl), N-[(C<sub>1</sub>-C<sub>4</sub>)-alkyl]<sub>2</sub> or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;
- n is 0, 1, 2 or 3;

m is 1, 2, 3, 4 or 5;

o is 0, 1, 2 or 3;

5 Het is a heterocyclic 4- to 7-membered ring which may contain up to four N, O or S heteroatoms and wherein said heterocyclic 4- to 7-membered ring is optionally substituted by R7, R8 and R9, with the proviso that said heterocyclic 4- to 7- membered ring cannot be pyrrole; and

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R7, R8, and R9 are each independently H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, OH, oxo, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl and (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl are optionally substituted by COOH, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, F, Cl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl; and two radicals selected from said R7, R8 and R9 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring;

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and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 wherein

30 R1 and R2 are H;

R3 and R4 are each independently F, Cl or Br;

- R5 is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;
- A is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or NHCOR6, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl and SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;
- R6 is H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CONH<sub>2</sub>, (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-(C<sub>6</sub>-C<sub>10</sub>)-aryl, heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-heteroaryl or CO-heteroaryl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl, (C<sub>3</sub>-C<sub>7</sub>)-cycloalkyl-(C<sub>1</sub>-C<sub>4</sub>)-alkylene, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH and (C<sub>1</sub>-C<sub>6</sub>)-alkylene-CONH<sub>2</sub> are optionally mono- or polysubstituted by F, Cl, Br, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>4</sub>-

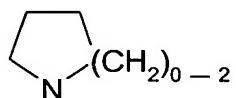
alkyl), or N-[(C<sub>1</sub>-C<sub>4</sub>)-alkyl]<sub>2</sub>, and said (C<sub>6</sub>-C<sub>10</sub>)-aryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-(C<sub>6</sub>-C<sub>10</sub>)-aryl, heteroaryl, (C<sub>1</sub>-C<sub>4</sub>)-alkylene-heteroaryl and CO-heteroaryl are optionally mono- or polysubstituted by F, Cl, Br, NO<sub>2</sub>, CN, O-(C<sub>1</sub>-C<sub>4</sub>-alkyl), COO-(C<sub>1</sub>-C<sub>4</sub>-alkyl), S-COO(C<sub>1</sub>-C<sub>4</sub>-alkyl), N-[(C<sub>1</sub>-C<sub>4</sub>)-alkyl]<sub>2</sub> or (C<sub>1</sub>-C<sub>6</sub>)-alkyl;

5 n is 0, 1 or 2;

10 m is 1;

o is 0 or 1;

Het is a heterocyclic 4- to 7-membered ring selected from triazolyl, tetrazolyl, oxadiazolyl, pyrazolyl, benzimidazolyl, furyl, triazinyl or



15 wherein said heterocyclic 4- to 7-membered ring is optionally substituted by R7, R8 and R9; and

R7, R8, and R9 are each independently H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, OH, oxo, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl and (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl are optionally substituted by COOH, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, F, Cl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

20 and two radicals selected from said R7, R8 and R9 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring;

and pharmaceutically acceptable salts thereof.

3. The compound of Claim 2 wherein

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R1 and R2 are H;

R3 and R4 are each independently F, Cl or Br;

10 R5 is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or  
15 polysubstituted by F, Cl or Br;

15

A is H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CF<sub>3</sub>, OCF<sub>3</sub>, NO<sub>2</sub>, CN, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

20

n is 0, 1 or 2;

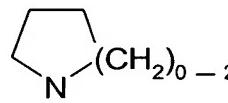
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m is 1;

o is 0 or 1;

30

Het is a heterocyclic 4- to 7-membered ring group selected from triazolyl,

tetrazolyl, oxadiazolyl, furyl, triazinyl or  , wherein said 4- to 7-membered heterocyclic ring is optionally substituted by R7, R8 and R9; and

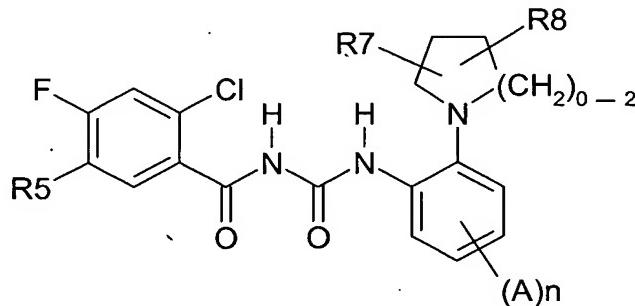
R7, R8, and R9 are each independently H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, OH, oxo, NH<sub>2</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl and CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> are optionally substituted by COOH;

5

and pharmaceutically acceptable salts thereof.

4. The compound of Claim 1 wherein the compound has the structure Ia

10



Ia

wherein

15 R5 is H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CF<sub>3</sub>, OCF<sub>3</sub>, NO<sub>2</sub>, CN, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

20 A is H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CF<sub>3</sub>, OCF<sub>3</sub>, NO<sub>2</sub>, CN, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

25 R7 is H, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

R8 is -(C=O)-X;

X is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or N-((C<sub>1</sub>-C<sub>6</sub>)-alkyl)<sub>2</sub>;

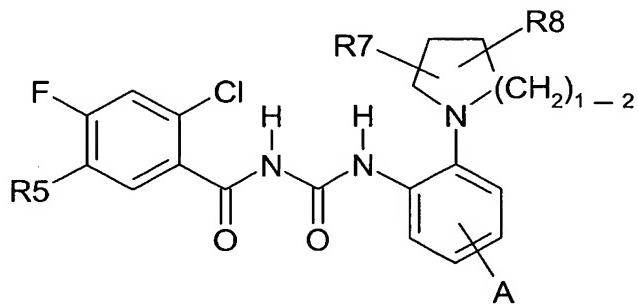
5

m is 1 or 2; and

$n$  is 1 or 2;

10 and pharmaceutically acceptable salts thereof.

5. The compound of Claim 1 wherein the compound has the structure Iaa



1aa

15 wherein

R5                  is H or F;

A is H, F, Cl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CF<sub>3</sub>, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COOH or SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

R7 is H or phenyl;

R8 is -(C=O)-X; and

X is OH, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>;

and pharmaceutically acceptable salts thereof.

6. A pharmaceutical composition comprising a pharmaceutically acceptable

5 carrier and one or more compounds of Claim 1.

7. A pharmaceutical composition comprising one or more compounds of Claim

1 and at least one further active ingredient.

10 8. The pharmaceutical composition of Claim 7, wherein said further active  
ingredient is selected from the group consisting of:

antidiabetics, hypoglycemic active ingredients, HMG-CoA reductase inhibitors,  
cholesterol absorption inhibitors, PPAR gamma agonists, PPAR alpha agonists,  
PPAR alpha/gamma agonists, fibrates, MTP inhibitors, bile acid absorption

15 inhibitors, CETP inhibitors, polymeric bile acid adsorbents, LDL receptor inducers,  
ACAT inhibitors, antioxidants, lipoprotein lipase inhibitors, ATP-citrate-lyase

inhibitors, squalene synthetase inhibitors, lipoprotein(a) antagonists, lipase

inhibitors, insulins, sulfonylureas, biguanides, meglitinides, thiazolidinediones,  $\alpha$ -  
glucosidase inhibitors, active ingredients acting on the ATP-dependent potassium

20 channel of the beta cells, CART agonists, NPY agonists, MC4 agonists, orexin  
agonists, H3 agonists, TNF agonists, CRF agonists, CRF BP antagonists,

urocortin agonists,  $\beta$ 3 agonists, MSH (melanocyte-stimulating hormone) agonists,  
CCK agonists, serotonin reuptake inhibitors, mixed serotonergic and

noradrenergic compounds, 5HT agonists, bombesin agonists, galanin antagonists,  
growth hormones, growth hormone-releasing compounds, TRH agonists,

25 uncoupling protein 2 or 3 modulators, leptin agonists, DA agonists (bromocriptine,  
Doprexin), lipase/amylase inhibitors, PPAR modulators, RXR modulators or TR- $\beta$   
agonists or amphetamines.

30 9. A method of reducing blood sugar comprising administering to a patient in  
need thereof a therapeutically effective amount of a compound of Claim 1.

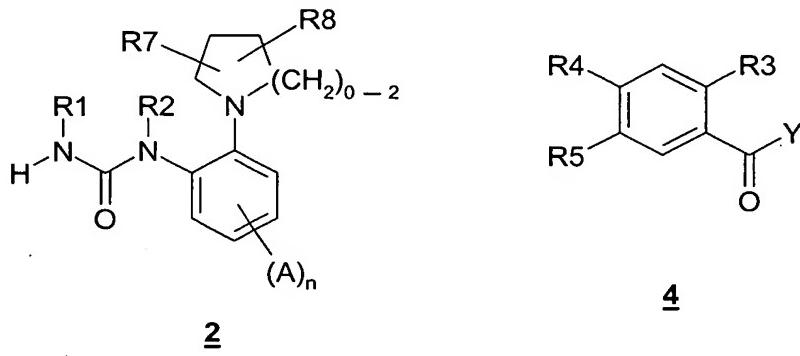
10. A method for treating lipid and carbohydrate metabolism disorders comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

5 11. A method for treating type 2 diabetes comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

12. A method for treating arteriosclerotic symptoms comprising administering to a patient in need thereof a therapeutically effective amount of a compound of  
10 Claim 1.

13. A method for treating insulin resistance comprising administering to a patient in need thereof a therapeutically effective amount of a compound of Claim 1.

15 14. A process for preparing a compound of Claim 1, which comprises reacting a urea of formula 2 with a compound of formula 4



wherein

20 R1 and R2 are each independently H, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl is optionally substituted by OH, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, NH<sub>2</sub>, NH(C<sub>1</sub>-C<sub>4</sub>)-alkyl or N[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>;

R3 and R4 are each independently F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

5

R5 is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

10

A is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or NHCOR<sub>6</sub>, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl and SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

20

25

n is 0, 1, 2 or 3;

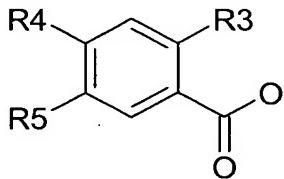
30

R7 and R8 are each independently H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, OH, oxo, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-

(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl and (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl are optionally substituted by COOH, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, F, Cl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

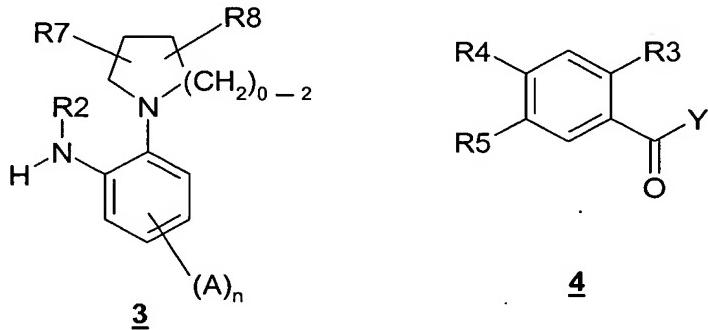
and said R7 and R8 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring; and

Y is Cl or



15

15. A process for preparing a compound of Claim 1, which comprises reacting an aniline derivative of formula 3 with a compound of formula 4



wherein

20 R2 is H, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl or (C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl is optionally substituted by OH, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, NH<sub>2</sub>, NH(C<sub>1</sub>-C<sub>4</sub>)-alkyl or N[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>;

R3 and R4 are each independently F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

5

R5 is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl or (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>4</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl and (C<sub>2</sub>-C<sub>6</sub>)-alkynyl are optionally mono- or polysubstituted by F, Cl or Br;

10

A is H, F, Cl, Br, OH, NO<sub>2</sub>, CN, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or NHCOR6, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COOH, (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO(C<sub>1</sub>-C<sub>6</sub>)-alkyl, SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, (C<sub>2</sub>-C<sub>6</sub>)-alkenyl, (C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, S(O)<sub>1-2</sub>-(C<sub>1</sub>-C<sub>6</sub>)-alkyl-, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, SO<sub>2</sub>NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl and SO<sub>2</sub>N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> are optionally mono- or polysubstituted by F, Cl, Br, COOH, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub> or OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

25

n is 0, 1, 2 or 3;

30

R7 and R8 are each independently H, F, Cl, Br, (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, OH, oxo, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH<sub>2</sub>, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, COOH, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-

(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl or (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, wherein said (C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkenyl, O-(C<sub>2</sub>-C<sub>6</sub>)-alkynyl, O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, NH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, N-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, CO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, (C<sub>0</sub>-C<sub>6</sub>)-alkylene-aryl and (C<sub>1</sub>-C<sub>6</sub>)-alkylene-COO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl are optionally substituted by COOH, CONH<sub>2</sub>, CONH-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, CON-[(C<sub>1</sub>-C<sub>6</sub>)-alkyl]<sub>2</sub>, OCO-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, F, Cl, (C<sub>1</sub>-C<sub>6</sub>)-alkyl or O-(C<sub>1</sub>-C<sub>6</sub>)-alkyl;

10 and said R7 and R8 may optionally be bonded together to form a ring fused onto said heterocyclic 4- to 7-membered ring; and

Y is -N=C=O.